

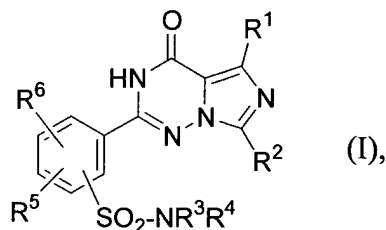
RECEIVED

NOV 25 2002

Amended Claims for Attorney Docket No. Le A 33 451 (US 10/022,954)

TECH CENTER 1600/2900

1. (Amended) Process for the preparation of compounds of the formula I



in which

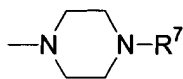
$R^1$  represents hydrogen or straight-chain or branched alkyl having up to 4 carbon atoms,

$R^2$  represents straight-chain or branched alkyl having up to 4 carbon atoms,

$R^3$  and  $R^4$  are identical or different and represent a straight chain or branched alkyl chain having up to 5 carbon atoms, which is optionally substituted up to two times in an identical or different manner by hydroxyl or methoxy,

or

$R^3$  and  $R^4$ , together with the nitrogen atom, form a piperidinyl, morpholinyl or thiomorpholinyl ring or a radical of the formula



in which

$R^7$  denotes hydrogen, formyl, straight-chain or branched acyl or alkoxycarbonyl each having up to 6 carbon atoms, or straight-chain or branched alkyl having up to 6 carbon atoms, which is optionally mono- to disubstituted, in an identical or different manner, by hydroxyl, carboxyl, straight-chain or branched alkoxy or alkoxycarbonyl each having up to 6 carbon atoms, or denotes  $C_{3-8}$ -cycloalkyl,

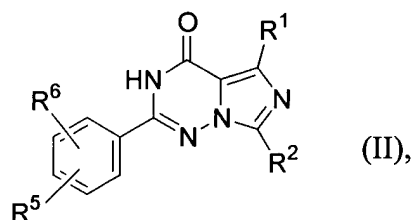
and the heterocycles mentioned under  $R^3$  and  $R^4$ , formed together with the nitrogen atom, are optionally mono-to disubstituted, in an identical or different manner, optionally also geminally, by hydroxyl, formyl, carboxyl, straight-chain or branched acyl or alkoxycarbonyl each having up to 6 carbon atoms,

and/or the heterocycles mentioned under  $R^3$  and  $R^4$ , formed together with the nitrogen atom, are optionally substituted by straight-chain or branched alkyl having up to 6 carbon atoms, which is optionally mono- to disubstituted, in an identical or different manner, by hydroxyl or carboxyl,

and/or the heterocycles mentioned under  $R^3$  and  $R^4$ , formed together with the nitrogen atom, are optionally substituted by piperidinyl or pyrrolidinyl linked via N,

$R^5$  and  $R^6$  are identical or different and represent hydrogen, straight-chain or branched alkyl having up to 6 carbon atoms, hydroxyl or straight-chain or branched alkoxy having up to 6 carbon atoms,

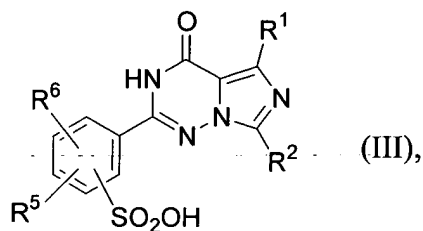
characterized in that compounds of the formula (II)



in which

$R^1$ ,  $R^2$ ,  $R^5$  and  $R^6$  have the meanings indicated above,

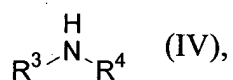
are reacted with sulphuric acid to give compounds of the formula (III)



in which

$R^1$ ,  $R^2$ ,  $R^5$  and  $R^6$  have the meanings indicated above,

and then with thionyl chloride and the product thus obtained is reacted in situ in an inert solvent with an amine of the formula (IV)



in which

$R^3$  and  $R^4$  have the meaning indicated above,

and optionally reacted to give the corresponding salts, hydrates or N-oxides.

---

2. Process according to Claim 1, characterized in that

$\beta^2$

$R^1$  denotes hydrogen or straight-chain or branched alkyl having up to 4 carbon atoms,

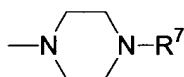
$R^2$  denotes straight-chain alkyl having up to 4 carbon atoms,

$R^3$  and  $R^4$  identically to or differently from one another denote a straight-chain or branched alkyl chain having up to 5 carbon atoms, which is optionally

substituted up to two times in an identical or different manner by hydroxyl or methoxy,

or

$R^3$  and  $R^4$ , together with the nitrogen atom, form a piperidinyl or morpholinyl ring or a radical of the formula



$\beta^2$

in which

$R^7$  denotes hydrogen, straight-chain or branched alkyl having up to 4 carbon atoms, which is optionally mono- or disubstituted, in an identical or different manner, by hydroxyl, straight-chain or branched alkoxy each having up to 4 carbon atoms, or denotes  $C_{3-6}$ -cycloalkyl,

and the heterocycles mentioned under  $R^3$  and  $R^4$ , formed together with the nitrogen atom, are optionally mono- or disubstituted, in an identical or different manner, optionally also geminally, by hydroxyl, straight-chain or branched acyl or alkoxycarbonyl each having up to 4 carbon atoms, which is optionally mono- or disubstituted, in an identical or different manner, by hydroxyl,

R<sup>5</sup> and R<sup>6</sup> identically to or differently from one another denote hydrogen, straight-chain or branched alkyl having up to 6 carbon atoms, hydroxyl or straight-chain or branched alkoxy having up to 6 carbon atoms.

3. Process according to Claim 1, characterized in that

R<sup>1</sup> denotes hydrogen or straight chain or branched alkyl having up to 4 carbon atoms,

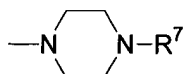
$\beta^2$

R<sup>2</sup> denotes straight-chain alkyl having up to 4 carbon atoms,

R<sup>3</sup> and R<sup>4</sup> identically to or differently from one another denote methyl or ethyl, which are optionally substituted up to two times in an identical or different manner by hydroxyl,

or

R<sup>3</sup> and R<sup>4</sup>, together with the nitrogen atom, form a piperidinyl or morpholinyl ring or a radical of the formula



in which

R<sup>7</sup> denotes hydrogen, methyl or ethyl, which is optionally mono-or disubstituted, in an identical or different manner, by hydroxyl, methoxy or ethoxy, or denotes cyclopentyl or cyclohexyl,

and the heterocycles mentioned under R<sup>3</sup> and R<sup>4</sup>, formed together with the nitrogen atom, are optionally mono-or disubstituted, in an identical or different manner, optionally also geminally, by hydroxyl, methyl or ethyl,

$\beta^2$

R<sup>5</sup> and R<sup>6</sup> identically to or differently from one another denote hydrogen, straight-chain or branched alkyl having up to 6 carbon atoms, hydroxyl or straight-chain or branched alkoxy having up to 6 carbon atoms.

4. Process according to Claim 1, characterized in that

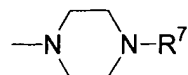
R<sup>1</sup> denotes methyl or ethyl,

R<sup>2</sup> denotes n-propyl,

R<sup>3</sup> and R<sup>4</sup> identically to or differently from one another denote methyl or ethyl, which are optionally substituted up to two times in an identical or different manner by hydroxyl,

or

R<sup>3</sup> and R<sup>4</sup>, together with the nitrogen atom, form a piperidiny1 or morpholinyl ring or a radical of the formula



in which

B<sup>2</sup> R<sup>7</sup> denotes hydrogen, methyl or ethyl, which is optionally mono- or disubstituted, in an identical or different manner, by hydroxyl, methoxy or ethoxy, or denotes cyclopentyl or cyclohexyl,

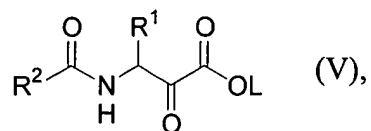
and the heterocycles mentioned under R<sup>3</sup> and R<sup>4</sup>, formed together with the nitrogen atom, are optionally mono- or disubstituted, in an identical or different manner, optionally also geminally, by hydroxyl, methyl or ethyl,

R<sup>5</sup> denotes hydrogen,

R<sup>6</sup> denotes ethoxy.

5. Process according to Claim 1, characterized in that the compounds of the formula (II) are prepared by reaction of the compounds of the formula (V)





in which

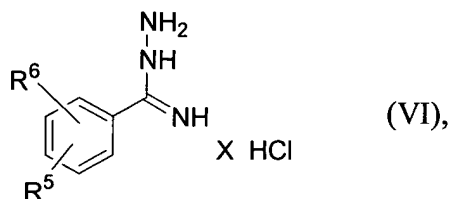
$\text{R}^1$  and  $\text{R}^2$  have the meaning indicated Claim 1

and

$\beta^2$

L represents straight-chain or branched alkyl having up to 4 carbon atoms,

with compounds of the general formula (VI)



in which

$\text{R}^5$  and  $\text{R}^6$  have the meaning indicated in Claim 1

in a two-stage reaction in the systems methanol and phosphorous oxychloride / acetic acid or methanol and acetyl chloride / acetic acid.